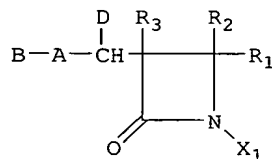


**WHAT IS CLAIMED IS:**

1. A compound of the structure



5

wherein:

D is H or OR<sup>a</sup>

10

wherein R<sup>a</sup> is H or alkyl;

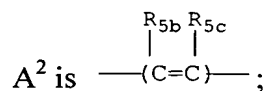
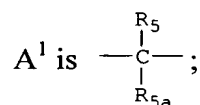
A is a linear string of A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup>, A<sup>6</sup>, A<sup>7</sup> and/or A<sup>8</sup>, in any order, such that A<sup>1</sup> may occur in the string from 0 to 6 times;

15

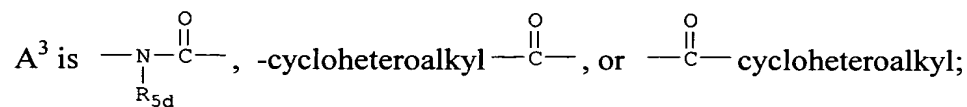
A<sup>2</sup> may occur in the string from 0 to 2 times;

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup>, A<sup>6</sup>, A<sup>7</sup> and/or A<sup>8</sup> may each occur in the string 0 or 1 time, such that the total number of linear A groups is 0 to 6;

20



25



A<sup>4</sup> is  $\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—}$ ;

A<sup>5</sup> is cycloalkyl;

5 A<sup>6</sup> is aryl;

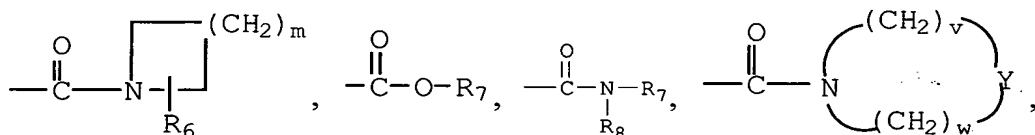
A<sup>7</sup> is heteroaryl; and

10 A<sup>8</sup> is cycloheteroalkyl,

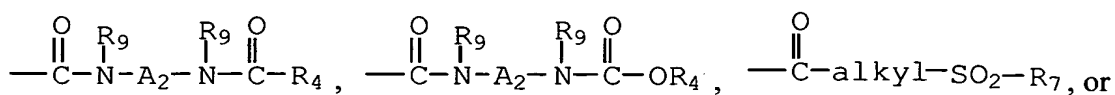
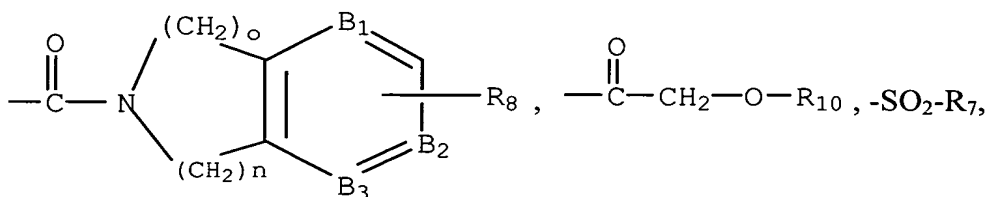
wherein R<sub>5a</sub>, R<sub>5a</sub><sup>1</sup>, R<sub>5b</sub>, R<sub>5c</sub>, and R<sub>5d</sub> are the same or different and are independently selected from H, alkyl, aryl, arylalkyl halo or nitro;

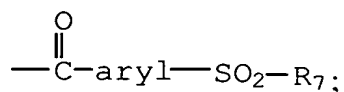
15 B is amino, aminoalkyl, aminoalkyl, aminocycloalkyl, cycloheteroalkyl, aryl, heteroaryl, alkylamino, carboxamido ( $\text{—NH}_2\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—}$ ) or cycloalkyl;

R<sub>1</sub> is hydrogen, carboxy, alkoxycarbonyl, A<sub>2</sub>-aryl,  $\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—R}_7$ ,

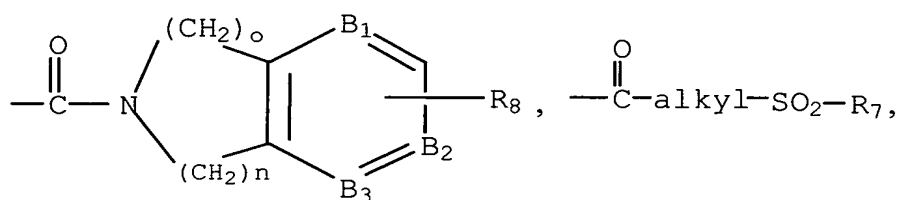
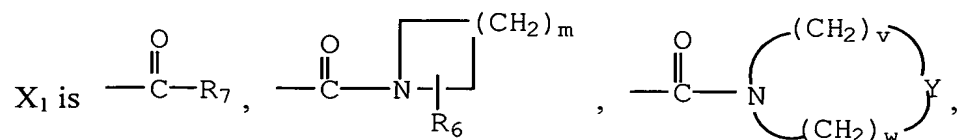


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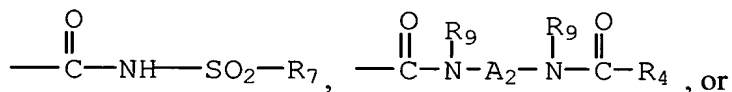
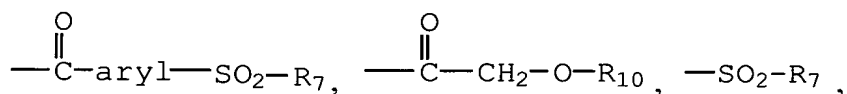




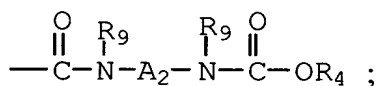
$\text{R}_2$  and  $\text{R}_3$  are the same or different and are independently selected from  
 5 hydrogen, or alkyl;



10



15



$\text{R}_4$  and  $\text{R}_5$  are the same or different and are independently selected from  
 hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl,  $\text{A}_2$ -cycloalkyl,  
 $\text{A}_2$ -substituted cycloalkyl, aryl, substituted aryl,  $\text{A}_2$ -aryl,  $\text{A}_2$ -substituted aryl,  
 20 heteroaryl,  $\text{A}_2$ -heteroaryl, heterocycloalkyl,  $\text{A}_2$ -heterocycloalkyl, aryl- $\text{A}_3$ -aryl,  $\text{A}_2$ -aryl- $\text{A}_3$ -aryl,  
 aryl- $\text{A}_3$ -cycloalkyl,  $\text{A}_2$ -aryl- $\text{A}_3$ -cycloalkyl, aryl- $\text{A}_3$ -heteroaryl,  $\text{A}_2$ -aryl- $\text{A}_3$ -  
 heteroaryl, aryl- $\text{A}_3$ -heterocycloalkyl,  $\text{A}_2$ -aryl- $\text{A}_3$ -heterocycloalkyl, aryl- $\text{A}_3$ -substituted  
 aryl,  $\text{A}_2$ -aryl- $\text{A}_3$ -substituted aryl, aryl- $\text{A}_3$ -substituted cycloalkyl,  $\text{A}_2$ -aryl- $\text{A}_3$ -substituted

cycloalkyl, cycloalkyl- A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-cycloalkyl, cycloalkyl-A<sub>3</sub>-aryl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-aryl, cycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-heteroaryl, cycloalkyl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-heterocycloalkyl, cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, cycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-substituted aryl, substituted cycloalkyl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-cycloalkyl, substituted cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, substituted cycloalkyl-A<sub>3</sub>-aryl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-aryl, substituted cycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-heteroaryl, substituted cycloalkyl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-heterocycloalkyl, substituted cycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-substituted aryl, heteroaryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-heteroaryl, heteroaryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-cycloalkyl, heteroaryl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-substituted cycloalkyl, heteroaryl-A<sub>3</sub>-aryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-aryl, heteroaryl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-heterocycloalkyl, heteroaryl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-substituted aryl, heterocycloalkyl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-heterocycloalkyl, heterocycloalkyl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-cycloalkyl, heterocycloalkyl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-substituted cycloalkyl, heterocycloalkyl-A<sub>3</sub>-aryl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-aryl, heterocycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-heteroaryl, substituted aryl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-substituted aryl, substituted aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-cycloalkyl, substituted aryl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-substituted cycloalkyl, substituted aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-aryl, substituted aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-heteroaryl, substituted aryl-A<sub>3</sub>-heterocycloalkyl, and A<sub>2</sub>-substituted aryl-A<sub>3</sub>-heterocycloalkyl;

R<sub>6</sub> is hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A<sub>2</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl, aryl, substituted aryl, A<sub>2</sub>-aryl, A<sub>2</sub>-substituted aryl, aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-aryl-A<sub>3</sub>-aryl, heteroaryl, A<sub>2</sub>-heteroaryl, heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl, aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-cycloalkyl, aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-

aryl-A<sub>3</sub>-heteroaryl, aryl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-heterocycloalkyl, carboxy,

alkoxycarbonyl, aryloxy carbonyl,  $\text{—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{R}_4 \\ \text{R}_5 \end{matrix}$ ,  $\text{—N}\begin{matrix} \text{R}_4 \\ \text{R}_5 \end{matrix}$ , alkoxycarbonylamino,

aryloxy carbonylamino, arylcarbonylamino, -N(alkyl)(alkoxycarbonyl),

-N(alkyl)(aryloxy carbonyl), alkylcarbonylamino, -N(alkyl)(alkylcarbonyl), or

5 -N(alkyl)(arylcarbonyl);

m is an integer from 1 to 5;

Y is O, S, N-R<sub>4</sub>, N-SO<sub>2</sub>-R<sub>7</sub>,  $\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—R}_7$ ,  $\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—O—R}_7$ ,

10

$\text{N—}\overset{\text{O}}{\parallel}\text{C—O—A}_3\text{—R}_7$ ,  $\text{N}=\text{N}\begin{matrix} \text{N} \\ \text{HN} \end{matrix}$ ,  $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{N} \\ \text{N} \end{matrix}$ -R<sub>4</sub>,  $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{N} \\ \text{N} \end{matrix}\text{—}\overset{\text{O}}{\parallel}\text{C—R}_7$ ,

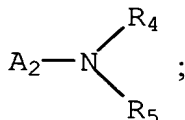
$\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—}\overset{\text{O}}{\parallel}\text{C—R}_7$ ,  $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{N} \\ \text{N} \end{matrix}\text{—}\overset{\text{O}}{\parallel}\text{C—CH}_2\text{—O—R}_7$ ,

15

$\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{N} \\ \text{N} \end{matrix}\text{—}\overset{\text{O}}{\parallel}\text{C—O—R}_7$ , or  $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{N} \\ \text{N} \end{matrix}\text{—}\overset{\text{O}}{\parallel}\text{C—}\overset{\text{O}}{\parallel}\text{C—R}_7$ ;

R<sub>7</sub> is hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A<sub>2</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl, aryl, substituted aryl, A<sub>2</sub>-aryl, A<sub>2</sub>-substituted aryl, heteroaryl, A<sub>2</sub>-heteroaryl, heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl, aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-aryl-A<sub>3</sub>-aryl, aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-cycloalkyl, aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-aryl-A<sub>3</sub>-heteroaryl, aryl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-heterocycloalkyl, aryl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-aryl-A<sub>3</sub>-substituted aryl, aryl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-substituted cycloalkyl, cycloalkyl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-cycloalkyl, cycloalkyl-A<sub>3</sub>-aryl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-aryl, cycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-cycloalkyl-

- A<sub>3</sub>-heteroaryl, cycloalkyl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-heterocycloalkyl,  
 cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-substituted cycloalkyl,  
 cycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-substituted aryl, substituted  
 cycloalkyl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-cycloalkyl, substituted  
 5 cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-substituted  
 cycloalkyl, substituted cycloalkyl-A<sub>3</sub>-aryl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-aryl,  
 substituted cycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-heteroaryl,  
 substituted cycloalkyl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-  
 heterocycloalkyl, substituted cycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-substituted cycloalkyl-  
 10 A<sub>3</sub>-substituted aryl, heteroaryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-heteroaryl, heteroaryl-  
 A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-cycloalkyl, heteroaryl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-  
 heteroaryl-A<sub>3</sub>-substituted cycloalkyl, heteroaryl-A<sub>3</sub>-aryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-aryl,  
 heteroaryl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-heterocycloalkyl, heteroaryl-A<sub>3</sub>-  
 substituted aryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-substituted aryl, heterocycloalkyl-A<sub>3</sub>-  
 15 heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-heterocycloalkyl, heterocycloalkyl-A<sub>3</sub>-  
 cycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-cycloalkyl, heterocycloalkyl-A<sub>3</sub>-substituted  
 cycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-substituted cycloalkyl, heterocycloalkyl-A<sub>3</sub>-aryl,  
 A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-aryl, heterocycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-  
 heterocycloalkyl-A<sub>3</sub>-substituted aryl, heterocycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-  
 20 heterocycloalkyl-A<sub>3</sub>-heteroaryl, substituted aryl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-substituted  
 aryl-A<sub>3</sub>-substituted aryl, substituted aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-  
 cycloalkyl, substituted aryl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-  
 substituted cycloalkyl, substituted aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-aryl, substituted  
 aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-heteroaryl, substituted aryl-A<sub>3</sub>-  
 25 heterocycloalkyl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-heterocycloalkyl,  $\text{—N} \begin{smallmatrix} \text{R}_4 \\ \text{R}_5 \end{smallmatrix}$ , or



n and o are independently one or two provided that the sum of n plus o is two or three;

5 v and w are independently one, two, or three provided that the sum of v plus w is three, four, or five;

R<sub>8</sub> is hydrogen, halo, amino, -NH(lower alkyl), -N(lower alkyl)<sub>2</sub>, nitro, alkyl, substituted alkyl, alkoxy, hydroxy, aryl, substituted aryl, A<sub>2</sub>-aryl, A<sub>2</sub>-substituted aryl, aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-aryl-A<sub>3</sub>-aryl, cycloalkyl, substituted cycloalkyl, A<sub>2</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl, heteroaryl, A<sub>2</sub>-heteroaryl, heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl, aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-cycloalkyl, aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-aryl-A<sub>3</sub>-heteroaryl, aryl-A<sub>3</sub>-heterocycloalkyl, or A<sub>2</sub>-aryl-A<sub>3</sub>-heterocycloalkyl;

15 B<sub>1</sub>, B<sub>2</sub> and B<sub>3</sub> are each CH, or two of B<sub>1</sub>, B<sub>2</sub> and B<sub>3</sub> are CH and the other is N, or one of B<sub>1</sub>, B<sub>2</sub> and B<sub>3</sub> is CH and the other two are N;

R<sub>9</sub> is hydrogen or lower alkyl;

20 R<sub>10</sub> is alkyl, substituted alkyl, alkyl-O-alkyl, alkyl-O-alkyl-O-alkyl, cycloalkyl, substituted cycloalkyl, A<sub>2</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl, aryl, substituted aryl, A<sub>2</sub>-aryl, A<sub>2</sub>-substituted aryl, aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-aryl-A<sub>3</sub>-aryl, heteroaryl, A<sub>2</sub>-heteroaryl, heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl, aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-cycloalkyl, aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-aryl-A<sub>3</sub>-heteroaryl, aryl-A<sub>3</sub>-heterocycloalkyl or A<sub>2</sub>-aryl-A<sub>3</sub>-heterocycloalkyl;

25

R<sub>21</sub> and R<sub>22</sub> are the same or different and are independently selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A<sub>2</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl, A<sub>2</sub>-aryl, and A<sub>2</sub>-substituted aryl;

30 p is an integer from 2 to 6;

q is an integer from 1 to 6;

r is zero, 1, 2 or 3;

s is 1, 2 or 3;

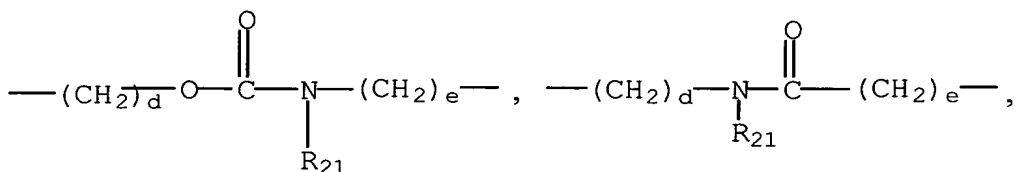
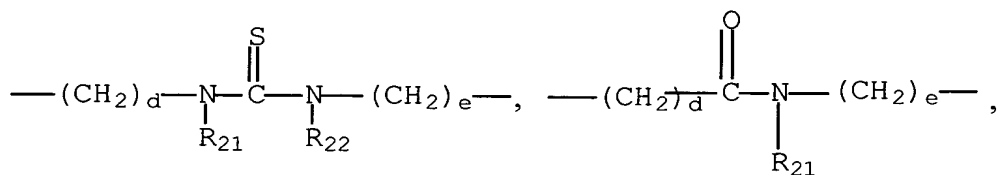
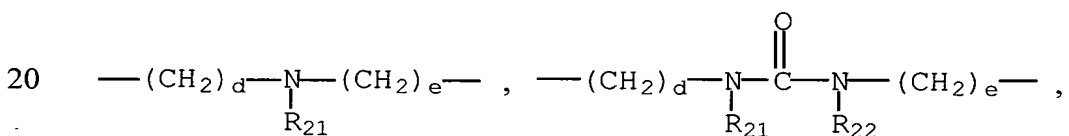
5

t is 1, 2, 3 or 4;

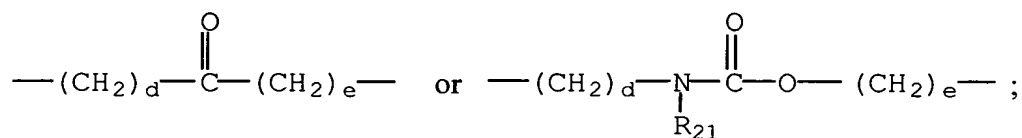
u is 1, 2 or 3;

10  $A_2$  is an alkylene or a substituted alkylene bridge of 1 to 10 carbons, an alkenyl or substituted alkenyl bridge of 2 to 10 carbons having one or more double bonds, or an alkynyl or substituted alkynyl bridge of 2 to 10 carbons having one or more triple bonds;

15  $A_3$  is a bond, an alkylene or a substituted alkylene bridge of 1 to 10 carbons, an alkenyl or substituted alkenyl bridge of 2 to 10 carbons having one or more double bonds, an alkynyl or substituted alkynyl bridge of 2 to 10 carbons having one or more triple bonds,  $-(CH_2)_d-O-(CH_2)_e-$ ,  $-(CH_2)_d-S-(CH_2)_e-$ ,





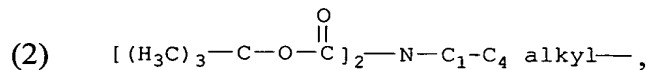
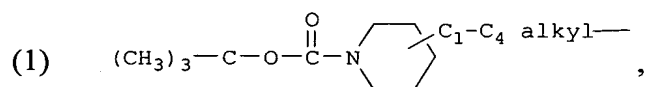


d and e are independently selected from zero and an integer from 1 to 10  
 5 provided that the sum of d plus e is no greater than 10;

and an inner salt or a pharmaceutically acceptable salt thereof, a hydrolyzable ester thereof, or a solvate thereof, with the provisos that

10 (a) where  $\text{R}_1$  is  $\text{COOZ}$ , where Z is  $(\text{t}-\text{C}_4\text{H}_9\text{OC}-\overset{\text{O}}{\parallel})$  or  $\text{C}_6\text{H}_5\text{CH}_2\text{OC}-\overset{\text{O}}{\parallel}$ , and  
 $-\text{A}-\overset{\text{D}}{\underset{\text{D}}{\text{C}}}-$  is  $(\text{CH}_2)_q$ , then B is other than amino or  $\text{R}_{20}\text{-NH-}$  where  $\text{R}_{20}$  is alkyl, cycloalkyl,  $\text{A}_2$ -cycloalkyl or  $\text{A}_2$ -aryl;

(b) where  $\text{R}_1$  is  $\text{C}_6\text{H}_5\text{CH}_2\text{OC}-\overset{\text{O}}{\parallel}$ ,  $\text{X}_1$  is  $-\overset{\text{O}}{\parallel}\text{C}-\text{N} \begin{array}{c} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{array} \text{N}-\overset{\text{O}}{\parallel}\text{C}-\text{O}-\text{C}_5 \text{ to } \text{C}_9 \text{ alkyl},$   
 15 and  $-\text{A}-\overset{\text{D}}{\underset{\text{D}}{\text{C}}}-$  is other than



20

(3) amino  $\text{C}_1\text{-C}_5$  alkyl,

(4)  $\text{C}_1\text{-C}_4$  alkylamino  $\text{C}_1\text{-C}_5$  alkyl, or

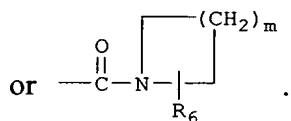
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(5) piperidyl.

2. The compound as defined in Claim 1 wherein  $R_3$  and  $R_2$  are each H.

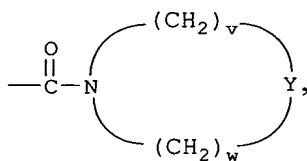
3. The compound as defined in Claim 1 wherein  $R_1$  is carboxy or  
5 arylalkoxycarbonyl.

4. The compound as defined in Claim 1 wherein  $R_1$  is carboxy,  $\text{—}\overset{\text{O}}{\parallel}\text{C—OR}_7$ ,



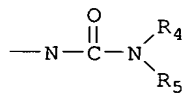
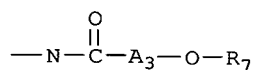
10 5. The compound as defined in Claim 4 wherein  $R_7$  is substituted alkyl,  
 $R_6$  is substituted alkyl and  $m$  is 2.

6. The compound as defined in Claim 1 wherein  $X_1$  is  $\text{—}\overset{\text{O}}{\parallel}\text{C—R}_7$  or

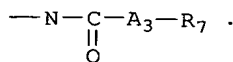
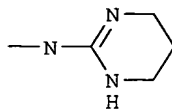


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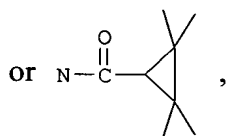
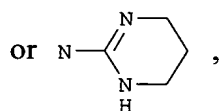
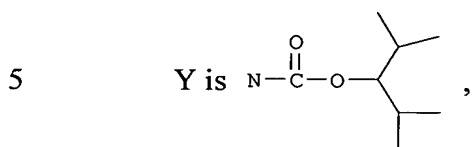
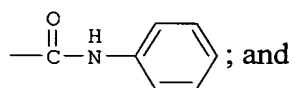
where Y is



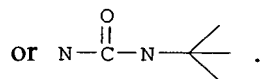
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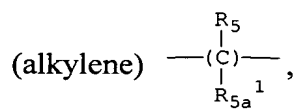
7. The compound as defined in Claim 6 wherein  $\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—R}_7$  is



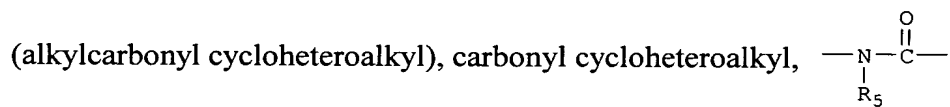
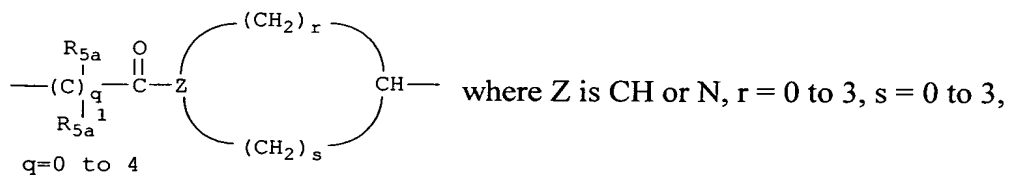
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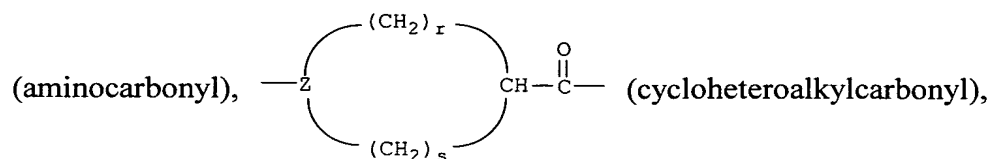


8. The compound as defined in Claim 1 wherein A is a bond, heteroaryl,



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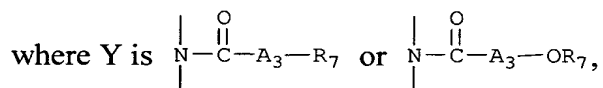
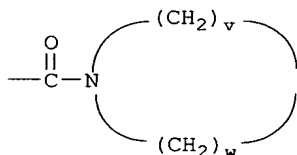




9. The compound as defined in Claim 1 wherein B is heteroaryl,  
5 cycloheteroaryl, alkylcycloheteroalkyl, amino, alkylamino, dialkylamino or aminoalkyl.

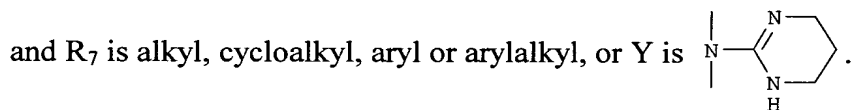
10. The compound as defined in Claim 1 wherein  $\text{---CH(D)---}$  is  $\text{---CH}_2\text{---}$ .

- 10 11. The compound as defined in Claim 1 wherein  $X_1$  is



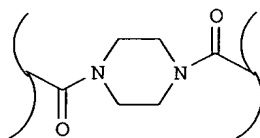
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wherein  $A_3$  is a bond,

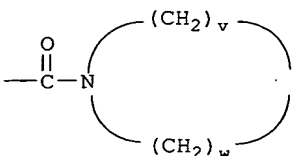



12. The compound as defined in Claim 10 where  $X_1$  includes the moiety

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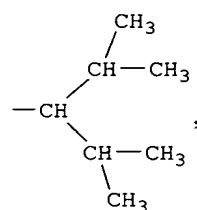


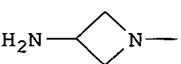

13. The compound as defined in Claim 1 wherein


$R_1$  is carboxy, benzyloxycarbonyl,  $-\overset{\text{O}}{\parallel}{\text{C}}-\text{N}$   where Y is

$-\text{N}-\overset{\text{O}}{\parallel}{\text{C}}-\text{N}$  ,  $R_2$  is H,  $R_3$  is H, D is H, A is  $-\overset{\text{O}}{\parallel}{\text{C}}-$ , a bond, alkylene,

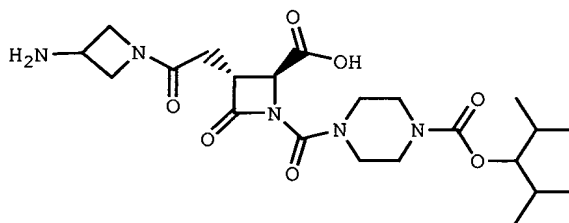
5  $-\text{cycloheteroalkyl}-\overset{\text{O}}{\parallel}{\text{C}}-$ , or heteroaryl, and B is amino, cycloheteroalkyl, or heteroaryl.

14. The compound as defined in Claim 13 wherein  $R_7$  is , A

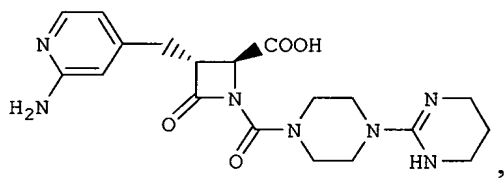
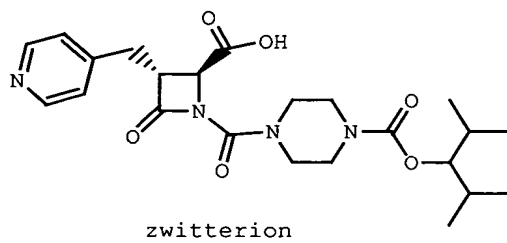
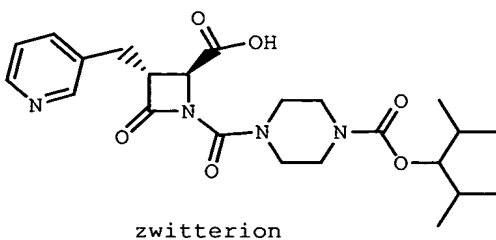
is pyridyl, B is  $\text{H}_2\text{N}-$  ,  $\text{Z}_1-\text{N}$   where  $\text{Z}_1$  is H,  $\text{NH}_2\text{CO}$  or alkyl, or B is

10  $\text{HN}-\text{CH}_2-\overset{\text{O}}{\parallel}{\text{C}}-\text{N}$  .

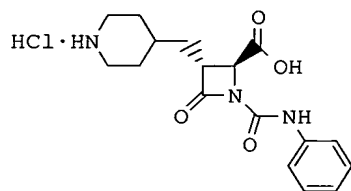
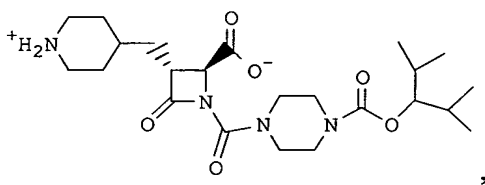
15. The compound as defined in Claim 1 having the structure

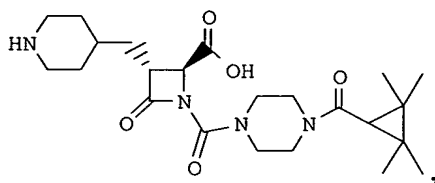


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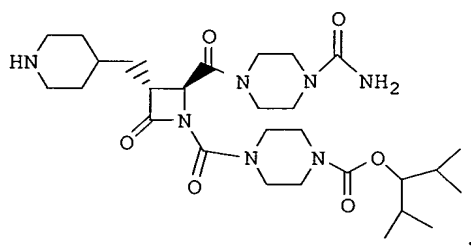
or its mono HCl, a monoTFA salt,



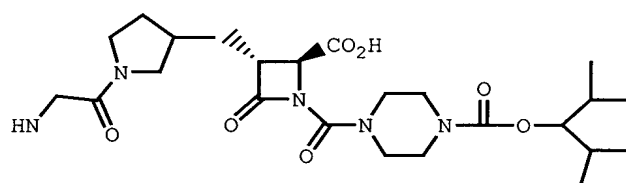


or its TFA salt,

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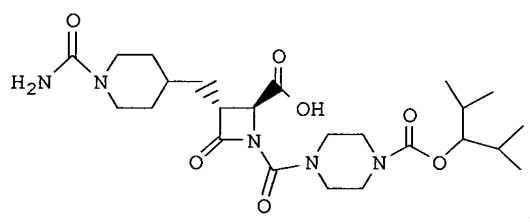


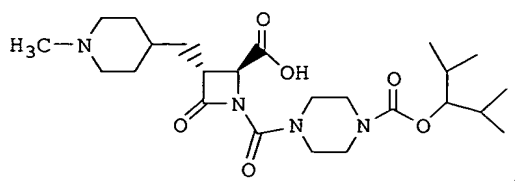
or its TFA salt,



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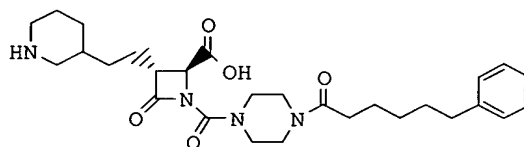
or its HCl salt,





or its TFA salt, or

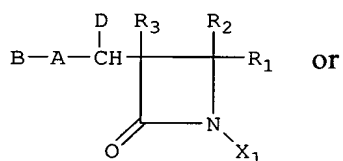
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or its TFA salt.

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16. A compound of the formula

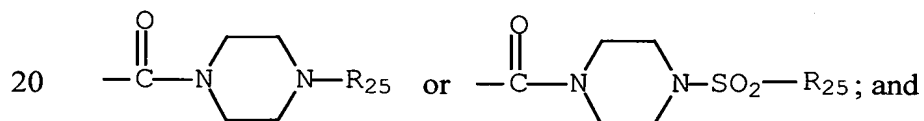
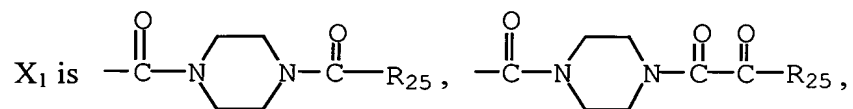


including an inner salt thereof, or a pharmaceutically acceptable salt thereof, or a

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hydrolyzable ester thereof, or a solvate thereof wherein:

B, A, D, R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are as defined in Claim 1;

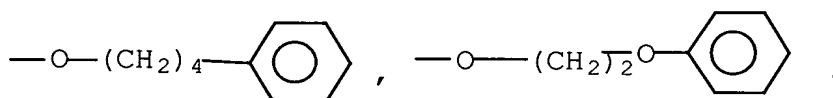
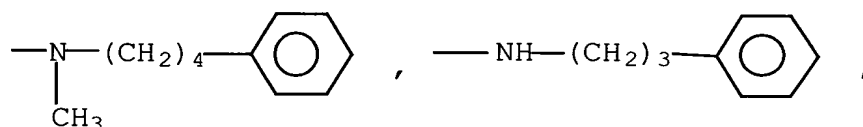
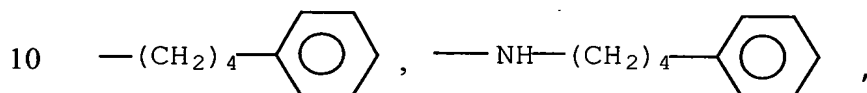
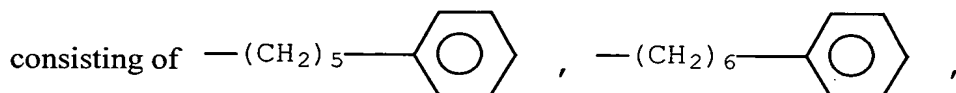




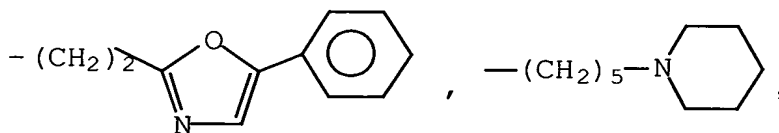
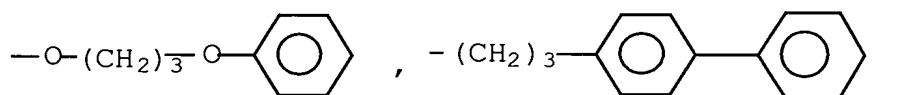
$R_{25}$  is a spacer terminating in a lipophilic group wherein said spacer comprises groups of 3 or more atoms or groups of 2 or more atoms and a phenylene, substituted phenylene, cycloalkylene, heteroarylene, or heterocycloalkylene ring and said lipophilic terminating group is aryl, substituted aryl, cycloalkyl, heteroaryl, or heterocycloalkyl.

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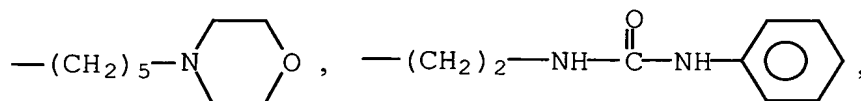
17. A compound of Claim 16 wherein  $R_{25}$  is selected from the group

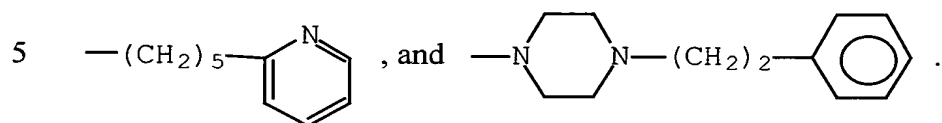
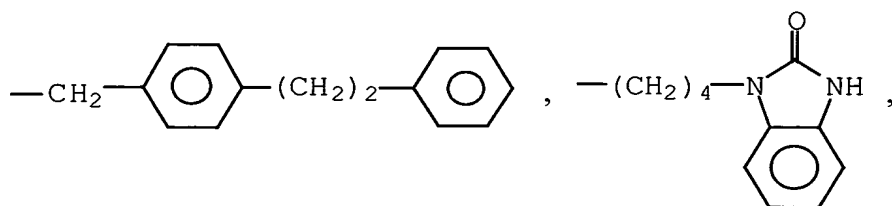
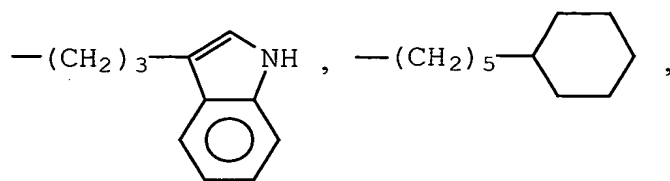


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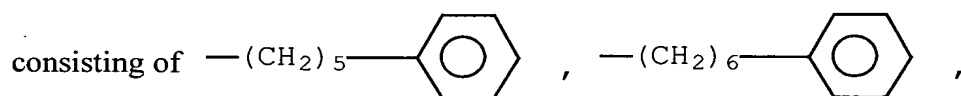


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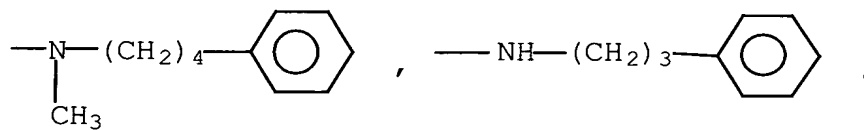
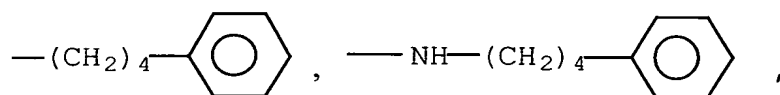




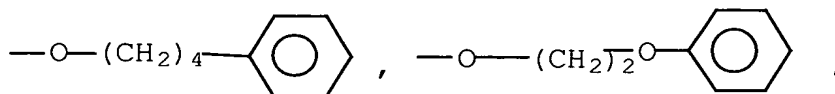
18. A compound of Claim 17 wherein  $\text{R}_{25}$  is selected from the group

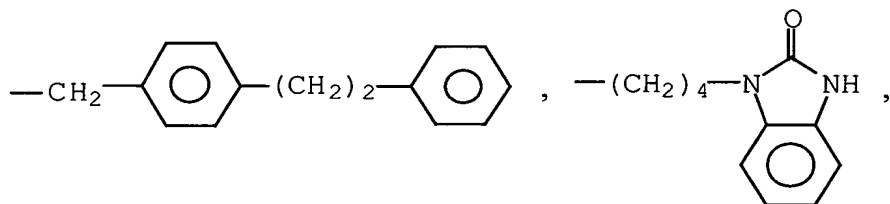
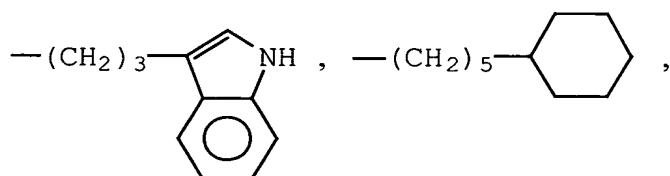
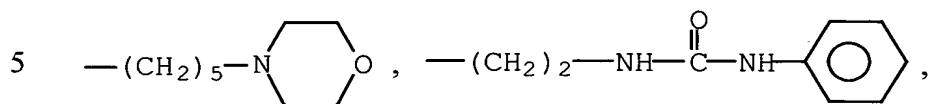
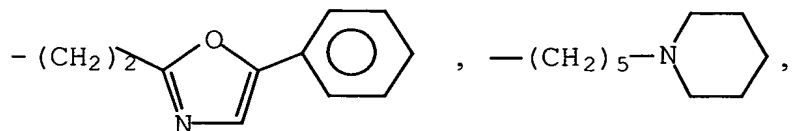
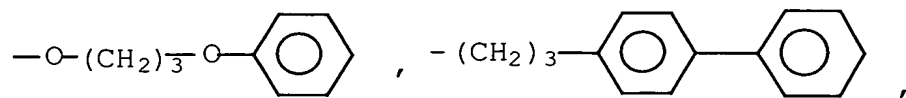


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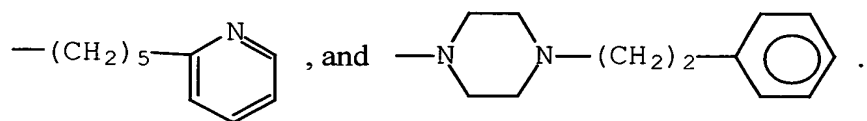


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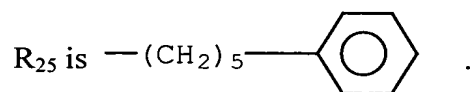


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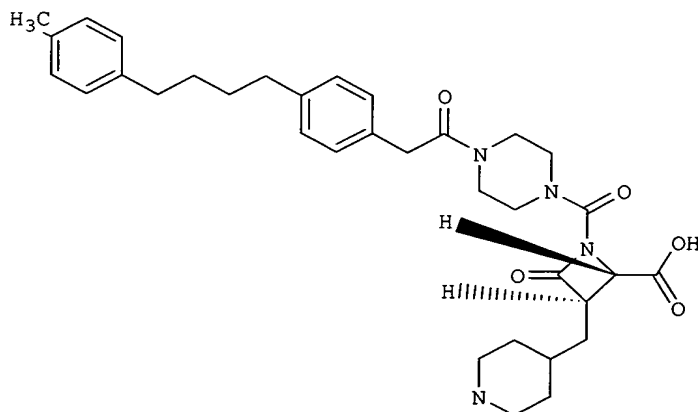
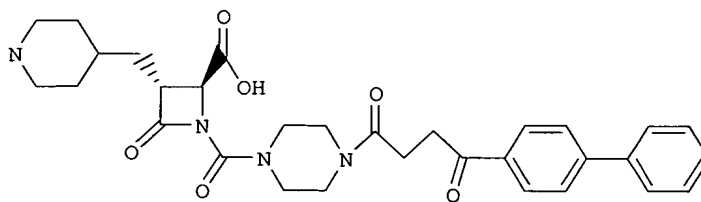
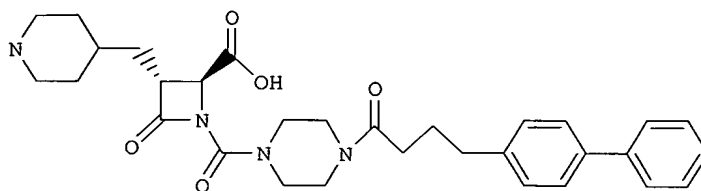
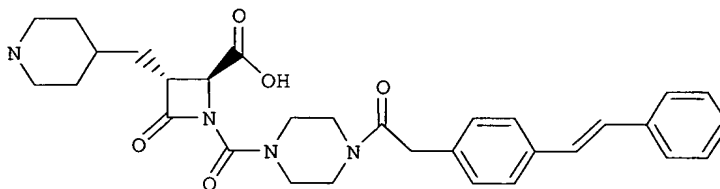
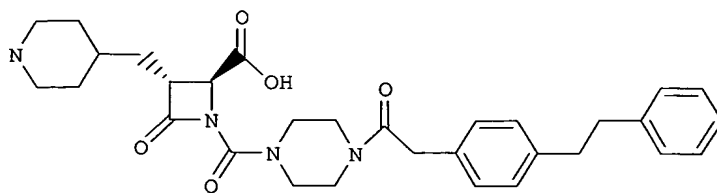


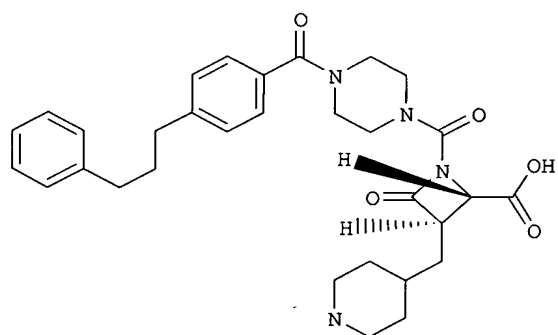
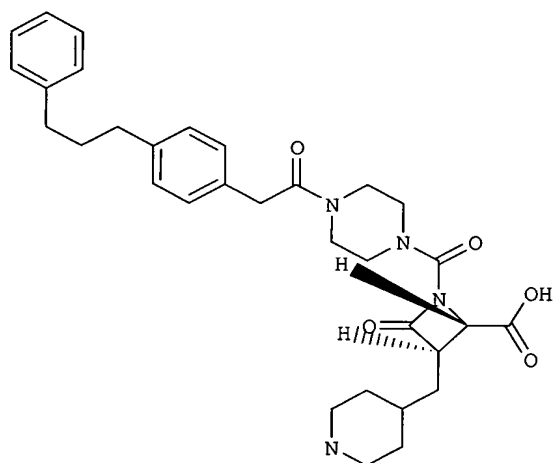
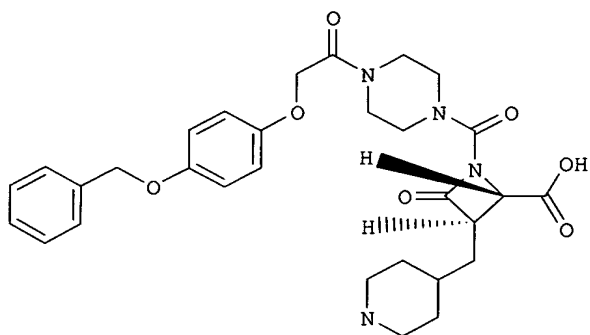
19. A compound of Claim 17 wherein

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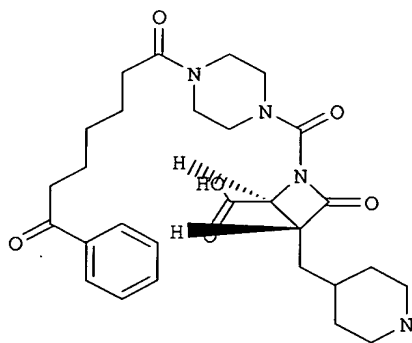


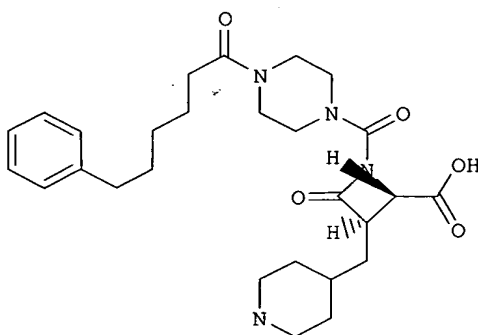
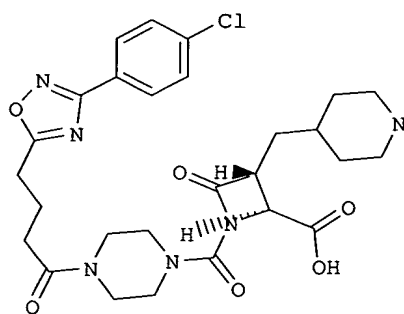
20. The compound as defined in Claim 16 having the following structure:



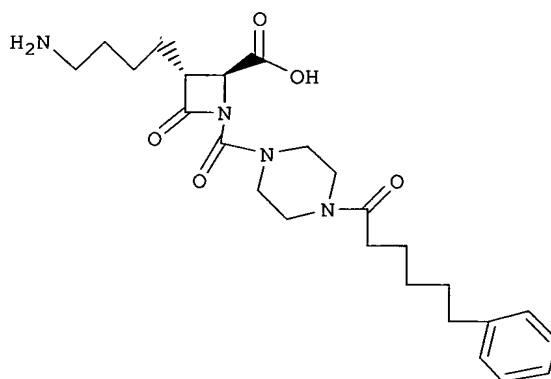


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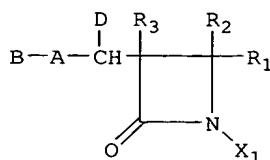


21. A pharmaceutical composition comprising a compound as defined in Claim 1 and a pharmaceutically acceptable carrier therefor.

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22. A method for treating and/or preventing medical conditions in a mammalian species related to tryptase, thrombin, trypsin, Factor Xa, Factor VIIa, or urokinase-type plasminogen activator and/or for treating and/or preventing asthma or

allergic rhinitis and/or for treating chronic asthma, which comprises administering a mammalian species a therapeutically effective amount of a compound of the structure



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wherein:

D is H or OR<sup>a</sup>;

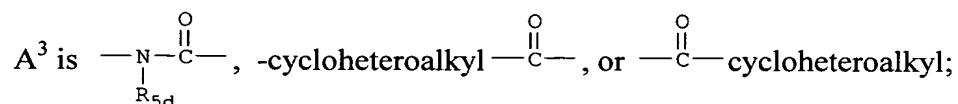
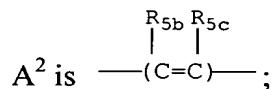
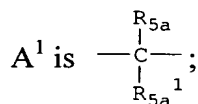
10 wherein R<sup>a</sup> is H or alkyl;

A is a linear string of A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup>, A<sup>6</sup>, A<sup>7</sup> and/or A<sup>8</sup>, in any order, such that A<sup>1</sup> may occur in the string from 0 to 6 times;

15 A<sup>2</sup> may occur in the string from 0 to 2 times;

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup>, A<sup>6</sup>, A<sup>7</sup> and/or A<sup>8</sup> may each occur in the string 0 or 1 time, such that the total number of linear A groups is 0 to 6;

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A<sup>4</sup> is  $\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—}$ ;

A<sup>5</sup> is cycloalkyl;

5 A<sup>6</sup> is aryl;

A<sup>7</sup> is heteroaryl; and

A<sup>8</sup> is cycloheteroalkyl;

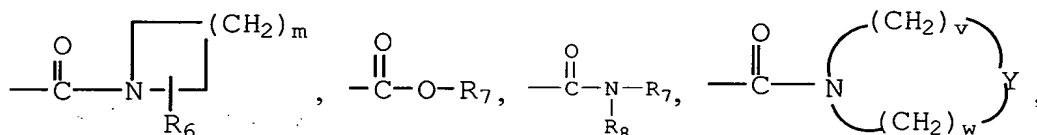
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wherein R<sub>5a</sub>, R<sub>5a</sub><sup>1</sup>, R<sub>5b</sub>, R<sub>5c</sub>, and R<sub>5d</sub> are the same or different and are independently selected from H, alkyl, aryl, arylalkyl halo or nitro;

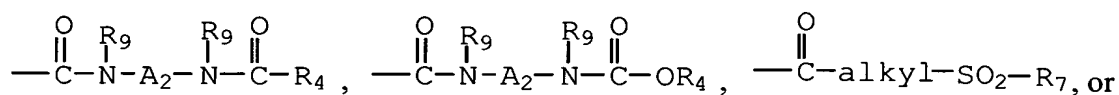
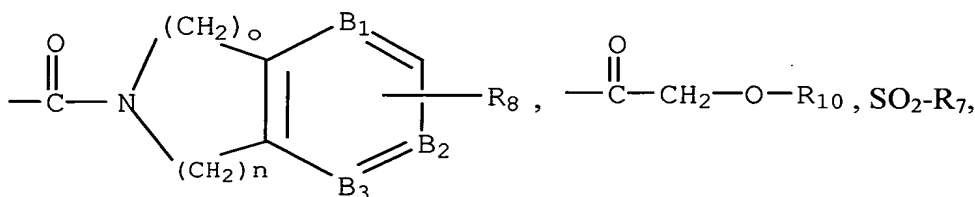
B is amino, aminoalkyl, aminoalkyl, aminocycloalkyl, cycloheteroalkyl, aryl,

15 heteroaryl, alkylamino, carboxamido ( $\text{—NH}_2\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—}$ ) or cycloalkyl;

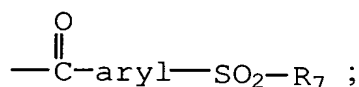
R<sub>1</sub> is hydrogen, carboxy, alkoxycarbonyl, A<sub>2</sub>-aryl, alkyl,  $\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—R}_7$ ,



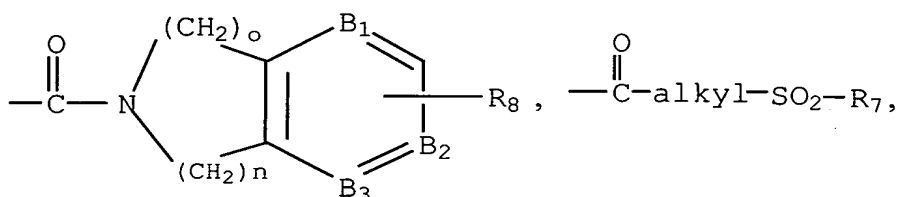
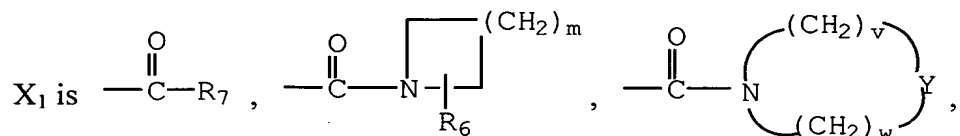
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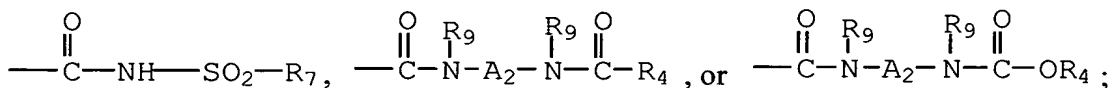
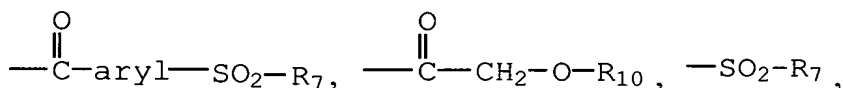




- 5  $\text{R}_2$  and  $\text{R}_3$  are the same or different and are independently selected from hydrogen, or alkyl;



10



- 15  $\text{R}_4$  and  $\text{R}_5$  are the same or different and are independently selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl,  $\text{A}_2$ -cycloalkyl,  $\text{A}_2$ -substituted cycloalkyl, aryl, substituted aryl,  $\text{A}_2$ -aryl,  $\text{A}_2$ -substituted aryl, heteroaryl,  $\text{A}_2$ -heteroaryl, heterocycloalkyl,  $\text{A}_2$ -heterocycloalkyl, aryl- $\text{A}_3$ -aryl,  $\text{A}_2$ -aryl- $\text{A}_3$ -aryl, aryl- $\text{A}_3$ -cycloalkyl,  $\text{A}_2$ -aryl- $\text{A}_3$ -cycloalkyl, aryl- $\text{A}_3$ -heteroaryl,  $\text{A}_2$ -aryl- $\text{A}_3$ -heteroaryl, aryl- $\text{A}_3$ -heterocycloalkyl,  $\text{A}_2$ -aryl- $\text{A}_3$ -heterocycloalkyl, aryl- $\text{A}_3$ -substituted aryl,  $\text{A}_2$ -aryl- $\text{A}_3$ -substituted aryl, aryl- $\text{A}_3$ -substituted cycloalkyl,  $\text{A}_2$ -aryl- $\text{A}_3$ -substituted cycloalkyl, cycloalkyl- $\text{A}_3$ -cycloalkyl,  $\text{A}_2$ -cycloalkyl- $\text{A}_3$ -cycloalkyl, cycloalkyl- $\text{A}_3$ -aryl,  $\text{A}_2$ -cycloalkyl- $\text{A}_3$ -aryl, cycloalkyl- $\text{A}_3$ -heteroaryl,  $\text{A}_2$ -cycloalkyl- $\text{A}_3$ -heteroaryl, cycloalkyl- $\text{A}_3$ -heterocycloalkyl,  $\text{A}_2$ -cycloalkyl- $\text{A}_3$ -heterocycloalkyl, cycloalkyl- $\text{A}_3$ -
- 20

substituted cycloalkyl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, cycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-substituted aryl, substituted cycloalkyl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-cycloalkyl, substituted cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, substituted cycloalkyl-A<sub>3</sub>-aryl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-aryl, substituted cycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-heteroaryl, substituted cycloalkyl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-heterocycloalkyl, substituted cycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-substituted aryl, heteroaryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-heteroaryl, heteroaryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-cycloalkyl, heteroaryl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-substituted cycloalkyl, heteroaryl-A<sub>3</sub>-aryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-aryl, heteroaryl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-heterocycloalkyl, heteroaryl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-substituted aryl, heterocycloalkyl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-heterocycloalkyl, heterocycloalkyl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-cycloalkyl, heterocycloalkyl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-substituted cycloalkyl, heterocycloalkyl-A<sub>3</sub>-aryl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-aryl, heterocycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-substituted aryl, heterocycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-heteroaryl, substituted aryl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-substituted aryl, substituted aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-cycloalkyl, substituted aryl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-substituted cycloalkyl, substituted aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-aryl, substituted aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-heteroaryl, substituted aryl-A<sub>3</sub>-heterocycloalkyl, and A<sub>2</sub>-substituted aryl-A<sub>3</sub>-heterocycloalkyl;

25

R<sub>6</sub> is hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A<sub>2</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl, aryl, substituted aryl, A<sub>2</sub>-aryl, A<sub>2</sub>-substituted aryl, aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-aryl-A<sub>3</sub>-aryl, heteroaryl, A<sub>2</sub>-heteroaryl, heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl, aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-cycloalkyl, aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-aryl-A<sub>3</sub>-heteroaryl, aryl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-heterocycloalkyl, carboxy,

30

alkoxycarbonyl, aryloxy carbonyl,  $\text{—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{R}_4 \\ \text{R}_5 \end{matrix}$ ,  $\text{—N}\begin{matrix} \text{R}_4 \\ \text{R}_5 \end{matrix}$ , alkoxycarbonylamino, aryloxy carbonylamino, arylcarbonylamino, -N(alkyl)(alkoxycarbonyl), -N(alkyl)(aryloxy carbonyl), alkylcarbonylamino, -N(alkyl)(alkylcarbonyl), or -N(alkyl)(arylcarbonyl);

5

m is an integer from 1 to 5;

Y is O, S, N-R<sub>4</sub>, N-SO<sub>2</sub>-R<sub>7</sub>,  $\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—R}_7$ ,  $\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—O—R}_7$ ,

10  $\text{N—}\overset{\text{O}}{\parallel}\text{C—O—A}_3\text{—R}_7$ ,  $\text{N—}\begin{matrix} \text{N} \\ \diagup \quad \diagdown \\ \text{HN} \end{matrix}$ ,  $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{matrix}\text{N—R}_4$ ,  $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{matrix}\text{N—}\overset{\text{O}}{\parallel}\text{C—R}_7$ ,

$\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—}\overset{\text{O}}{\parallel}\text{C—R}_7$ ,  $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{matrix}\text{N—}\overset{\text{O}}{\parallel}\text{C—CH}_2\text{—O—R}_7$ ,

$\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{matrix}\text{N—}\overset{\text{O}}{\parallel}\text{C—O—R}_7$ , or  $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{matrix}\text{N—}\overset{\text{O}}{\parallel}\text{C—}\overset{\text{O}}{\parallel}\text{C—R}_7$ ;

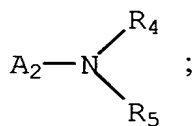
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R<sub>7</sub> is hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A<sub>2</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl, aryl, substituted aryl, A<sub>2</sub>-aryl, A<sub>2</sub>-substituted aryl, heteroaryl, A<sub>2</sub>-heteroaryl, heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl, aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-aryl-A<sub>3</sub>-aryl, aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-cycloalkyl, aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-aryl-A<sub>3</sub>-heteroaryl, aryl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-heterocycloalkyl, aryl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-aryl-A<sub>3</sub>-substituted aryl, aryl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-substituted cycloalkyl, cycloalkyl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-cycloalkyl, cycloalkyl-A<sub>3</sub>-aryl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-aryl, cycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-heteroaryl, cycloalkyl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-heterocycloalkyl, cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-substituted cycloalkyl,

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cycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-cycloalkyl-A<sub>3</sub>-substituted aryl, substituted  
 cycloalkyl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-cycloalkyl, substituted  
 cycloalkyl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-substituted  
 cycloalkyl, substituted cycloalkyl-A<sub>3</sub>-aryl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-aryl,  
 5 substituted cycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-heteroaryl,  
 substituted cycloalkyl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-substituted cycloalkyl-A<sub>3</sub>-  
 heterocycloalkyl, substituted cycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-substituted cycloalkyl-  
 A<sub>3</sub>-substituted aryl, heteroaryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-heteroaryl, heteroaryl-  
 A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-cycloalkyl, heteroaryl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-  
 10 heteroaryl-A<sub>3</sub>-substituted cycloalkyl, heteroaryl-A<sub>3</sub>-aryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-aryl,  
 heteroaryl-A<sub>3</sub>-heterocycloalkyl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-heterocycloalkyl, heteroaryl-A<sub>3</sub>-  
 substituted aryl, A<sub>2</sub>-heteroaryl-A<sub>3</sub>-substituted aryl, heterocycloalkyl-A<sub>3</sub>-  
 heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-heterocycloalkyl, heterocycloalkyl-A<sub>3</sub>-  
 cycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-cycloalkyl, heterocycloalkyl-A<sub>3</sub>-substituted  
 15 cycloalkyl, A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-substituted cycloalkyl, heterocycloalkyl-A<sub>3</sub>-aryl,  
 A<sub>2</sub>-heterocycloalkyl-A<sub>3</sub>-aryl, heterocycloalkyl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-  
 heterocycloalkyl-A<sub>3</sub>-substituted aryl, heterocycloalkyl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-  
 heterocycloalkyl-A<sub>3</sub>-heteroaryl, substituted aryl-A<sub>3</sub>-substituted aryl, A<sub>2</sub>-substituted  
 aryl-A<sub>3</sub>-substituted aryl, substituted aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-  
 20 cycloalkyl, substituted aryl-A<sub>3</sub>-substituted cycloalkyl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-  
 substituted cycloalkyl, substituted aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-aryl, substituted  
 aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-heteroaryl, substituted aryl-A<sub>3</sub>-

heterocycloalkyl, A<sub>2</sub>-substituted aryl-A<sub>3</sub>-heterocycloalkyl,  $\text{—N} \begin{matrix} \nearrow R_4 \\ \searrow R_5 \end{matrix}$ , or



25

n and o are independently one or two provided that the sum of n plus o is two  
 or three;

v and w are independently one, two, or three provided that the sum of v plus w is three, four, or five;

5  $R_8$  is hydrogen, halo, amino, -NH(lower alkyl), -N(lower alkyl)<sub>2</sub>, nitro, alkyl, substituted alkyl, alkoxy, hydroxy, aryl, substituted aryl, A<sub>2</sub>-aryl, A<sub>2</sub>-substituted aryl, aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-aryl-A<sub>3</sub>-aryl, cycloalkyl, substituted cycloalkyl, A<sub>2</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl, heteroaryl, A<sub>2</sub>-heteroaryl, heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl, aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-cycloalkyl, aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-aryl-A<sub>3</sub>-heteroaryl, aryl-A<sub>3</sub>-heterocycloalkyl, or A<sub>2</sub>-aryl-A<sub>3</sub>-heterocycloalkyl;

10

$B_1$ ,  $B_2$  and  $B_3$  are each CH, or two of  $B_1$ ,  $B_2$  and  $B_3$  are CH and the other is N, or one of  $B_1$ ,  $B_2$  and  $B_3$  is CH and the other two are N;

$R_9$  is hydrogen or lower alkyl;

15

$R_{10}$  is alkyl, substituted alkyl, alkyl-O-alkyl, alkyl-O-alkyl-O-alkyl, cycloalkyl, substituted cycloalkyl, A<sub>2</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl, aryl, substituted aryl, A<sub>2</sub>-aryl, A<sub>2</sub>-substituted aryl, aryl-A<sub>3</sub>-aryl, A<sub>2</sub>-aryl-A<sub>3</sub>-aryl, heteroaryl, A<sub>2</sub>-heteroaryl, heterocycloalkyl, A<sub>2</sub>-heterocycloalkyl, aryl-A<sub>3</sub>-cycloalkyl, A<sub>2</sub>-aryl-A<sub>3</sub>-cycloalkyl, aryl-A<sub>3</sub>-heteroaryl, A<sub>2</sub>-aryl-A<sub>3</sub>-heteroaryl, aryl-A<sub>3</sub>-heterocycloalkyl or A<sub>2</sub>-aryl-A<sub>3</sub>-heterocycloalkyl;

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$R_{21}$  and  $R_{22}$  are the same or different and are independently selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A<sub>2</sub>-cycloalkyl, A<sub>2</sub>-substituted cycloalkyl, A<sub>2</sub>-aryl, and A<sub>2</sub>-substituted aryl;

25

p is an integer from 2 to 6;

q is an integer from 1 to 6;

30

r is zero, 1 or 2;

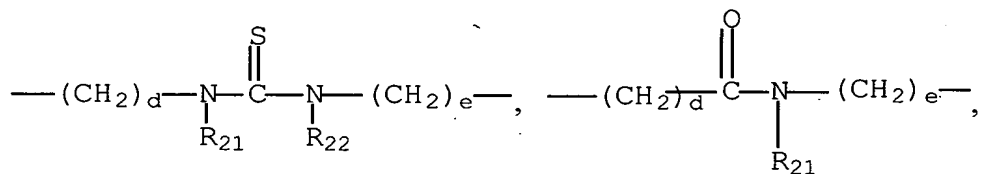
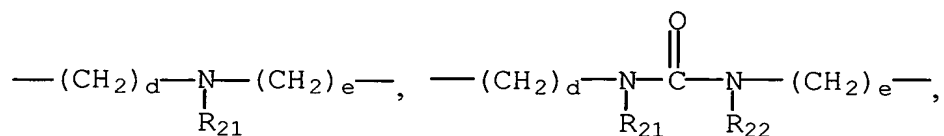
s is 1 or 2;

t is 1, 2, 3 or 4;

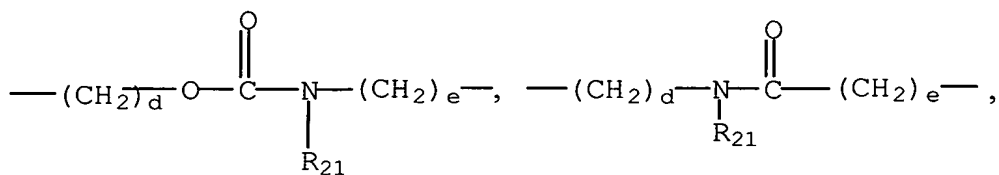
5 u is 1, 2 or 3;

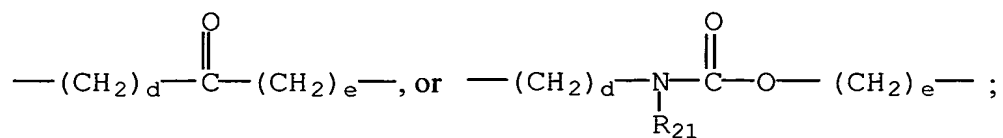
$A_2$  is an alkylene or a substituted alkylene bridge of 1 to 10 carbons, an alkenyl or substituted alkenyl bridge of 2 to 10 carbons having one or more double bonds, or an alkynyl or substituted alkynyl bridge of 2 to 10 carbons having one or more triple  
10 bonds;

$A_3$  is a bond, an alkylene or a substituted alkylene bridge of 1 to 10 carbons, an alkenyl or substituted alkenyl bridge of 2 to 10 carbons having one or more double bonds, an alkynyl or substituted alkynyl bridge of 2 to 10 carbons having one or more  
15 triple bonds,  $-(CH_2)_d-O-(CH_2)_e-$ ,  $-(CH_2)_d-S-(CH_2)_e-$ ,



20





d and e are independently selected from zero and an integer from 1 to 10 provided that the sum of d plus e is no greater than 10;

5

and an inner salt or a pharmaceutically acceptable salt thereof, a hydrolyzable ester thereof, or a solvate thereof;

23. The method as defined in Claim 22 for treating and/or preventing  
10 asthma or allergic rhinitis.

24. The method for treating chronic asthma as defined in Claim 22 which comprises administering to a mammalian species by inhalation to the bronchioles an effective amount of said compound.